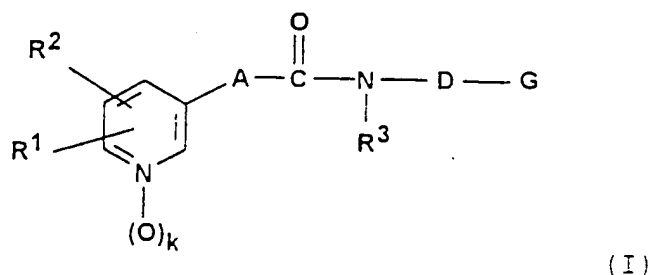


CLAIMS

1. Pyridylalkane, pyridylalkene and pyridylalkine acid amides of the general formula (I)



wherein the substituents have the following meanings:

- R^1 is selected from hydrogen, halogen, cyano, alkyl, alkenyl, alkynyl, fluoroalkyl such as trifluoromethyl, cycloalkyl, hydroxyalkyl, hydroxy, alkoxy, cycloalkyloxy, aralkyloxy such as benzyloxy, alkanoyloxy, alkylthio, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, carboxy, aryl such as phenyl, aryloxy such as phenoxy, arylthio such as phenylthio, heteroaryloxy such as pyridyloxy, heteroarylthio such as pyridylthio, and NR^4R^5 , whereby
- R^4 and R^5 are selected independently of each other from hydrogen, alkyl, alkenyl, alkynyl, aralkyl such as benzyl and aryl such as phenyl;
- R^2 is selected from hydrogen, halogen, cyano, alkyl, fluoroalkyl such as trifluoromethyl, hydroxy, alkoxy and aralkyloxy such as benzyloxy;
- R^3 is selected from hydrogen, alkyl, alkenyl, alkynyl, hydroxy, alkoxy and aralkyloxy such as benzyloxy;

009790-98096560

k is the number 0 or 1,

A is selected from

alkylene, optionally substituted one to three-fold by alkyl, hydroxy, alkoxy, fluorine, or aryl such as phenyl;

alkylene, wherein a methylene unit is isosterically replaced by O, S, NR^6 , CO, SO or SO_2 , whereby, with the exception of CO, the isosteric substitution cannot be adjacent to the amide group and R^6 is hydrogen, alkyl, alkenyl, acyl or alkanesulfonyl;

1,2-cyclopropylene;

alkenylene, optionally substituted once or twice by alkyl, hydroxy, alkoxy, fluorine, cyano or aryl such as phenyl;

alkadienylene, optionally substituted once or twice by alkyl, fluorine, cyano or aryl such as phenyl;

1,3,5-hexatrienylene, optionally substituted by alkyl, fluorine, cyano or aryl such as phenyl; and

ethynylene

D is selected from

alkylene with at least 3 carbon atoms, optionally substituted once or twice by alkyl, hydroxy, alkoxy or aryl such as phenyl;

alkenylene with at least 3 carbon atoms or alkadienylene with at least 5 carbon atoms, optionally substituted once or twice by alkyl, hydroxy, alkoxy or aryl such as phenyl;

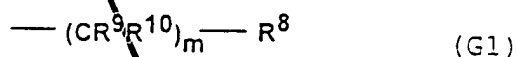
00596086-061600

alkynylene with at least 3 carbon atoms or alkeninylene, with at least 5 carbon atoms, optionally substituted once or twice by alkyl, hydroxy, alkoxy or aryl such as phenyl; as well as

alkylene, alkenylene or alkynylene each with at least 3 carbon atoms, wherein one to three methylene units, with the exception of the (G)-terminal methylene group, are isosterically replaced by O, S, NR⁷, CO, SO or SO₂, whereby R⁷ is synonymous with R⁶, but is selected independently thereof;

G is selected from G¹, G², G³, G⁴, G⁵ or G⁶ with the proviso that G must contain at least one aromatic ring, whereby

G¹ has the meaning



whereby

m is the number 0 or 1, and

R⁸ is selected from aralkyl such as benzyl or diphenylmethyl, aryl such as phenyl;

monocyclic aromatic five- or six-membered heterocycles, which can contain one to three hetero-atoms selected from N and/or S and/or O and are either bound directly or over a methylene group;

anellated bi- and tricyclic aromatic or partially hydrated carbocyclic ring systems with 8 to 18 ring atoms and at least one aromatic ring, whereby the linkage can occur either over an aromatic or a hydrated ring and either directly or over a methylene group;

anellated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 18 ring atoms and at least one aromatic ring, whereby one to three ring atoms can be selected from N and/or S and/or O and the linkage can occur either over an aromatic or a

hydrated ring and either directly or over a methylene group;

R⁹ is selected from
hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl;
aralkyl such as benzyl, aryl such as phenyl;
saturated or unsaturated, four- to six-membered
heterocycles, which can contain one or two hetero-atoms
selected from N and/or S and/or O;

monocyclic aromatic five- or seven-membered
heterocycles, which can contain one to three hetero-
atoms selected from N and/or S and/or O and are either
bound directly or over a methylene group;
annelated bi- and tricyclic aromatic or partially
hydrated carbocyclic ring systems with 8 to 18 ring
atoms and at least one aromatic ring, whereby the
linkage can occur either over an aromatic or a hydrated
ring and either directly or over a methylene group;
annelated bi- and tricyclic aromatic or partially
hydrated heterocyclic ring systems with 8 to 18 ring
atoms and at least one aromatic ring, whereby one to
three ring atoms can be selected from N and/or S and/or
O and the linkage can occur either over an aromatic or a
hydrated ring and either directly or over a methylene
group;

R¹⁰ is synonymous with R⁹, but can be selected independently
thereof, and also hydroxy;

G² is the grouping



(G2)

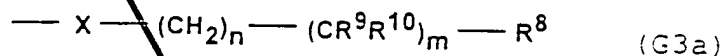
which is bound to D by means of a double bond,

wherein R⁸ and R⁹ have the above meaning, or whereby
this grouping $=CR^8R^9$ can also be a ring system bound
over the carbon atom, selected from

anellated bi- and tricyclic partially hydrated carbocyclic ring systems with 8 to 18 ring atoms and at least one aromatic ring;

anellated bi- and tricyclic partially hydrated heterocyclic ring systems with 8 to 18 ring atoms and at least one aromatic ring, whereby one to three ring atoms can be selected from N and/or S and/or O;

G³ is selected from



or



whereby m and the substituents R⁸, R⁹ and R¹⁰ can have above meanings, and

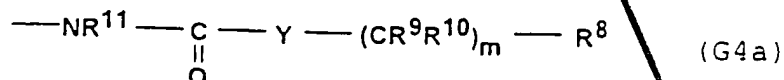
n is the number 0, 1 or 2,

X has the meaning NR¹¹, O or S, whereby

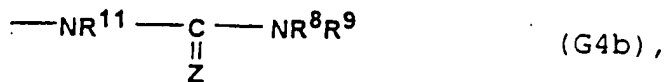
R¹¹ has the same meaning as R⁴, but is selected independently thereof, or the grouping $\text{---NR}^8\text{R}^9$ can also be a nitrogen heterocycle bound over the nitrogen atom, selected from

anellated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 18 ring atoms and at least one aromatic ring, which, aside from the essential nitrogen atom, can contain 1 or 2 further hetero-atoms selected from N and/or S and/or O; and

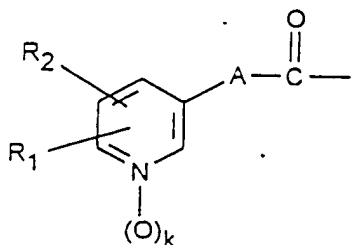
G⁴ is selected from



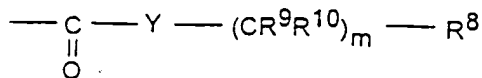
or



with the proviso that the structural element D—G cannot contain a total of more than 1 amide grouping (>N-CO-C< or >C-CO-N<), whereby m and the substituents R^8 , R^9 , R^{10} , R^{11} and the grouping NR^{89} can have the above defined meanings with the proviso that the residues



and

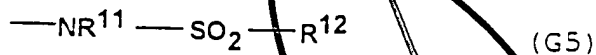


cannot be identical, and

Y is selected from methylene, ethylene, ethenylene, cycloalkylene or represent a bond, and

Z has the meaning O or S;

G^5 has the meaning

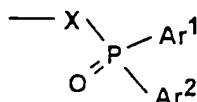


wherein R^{11} has the above meaning, and

R^{12} is selected from alkyl, aryl such as phenyl; monocyclic aromatic five- or six-membered heterocycles, which can contain one to three hetero-atoms selected from N and/or S and/or O; anellated bi- and tricyclic aromatic or partially hydrated carbocyclic ring systems with 8 to 18 ring atoms and at least one aromatic ring, whereby the

linkage can occur either over an aromatic or a hydrated ring, anellated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 18 ring atoms and at least one aromatic ring, whereby one to three ring atoms can be selected from N and/or S and/or O and the linkage can occur either over an aromatic or a hydrated ring;

G⁶ is selected from



(G6),

wherein X can have the above meanings and

Ar¹ and Ar² are selected independently from each other from aryl such as phenyl or naphthyl as well as heteroaryl such as pyridyl;

and whereby aromatic ring systems in the substituents R¹, R², R³, R⁴, R⁵, R⁸, R⁹, R¹⁰, R¹¹, R¹², Ar¹ and Ar² and/or in ring systems =CR⁸R⁹ and ---NR⁸R⁹ can be substituted independently from each other by one to three of the same or different groups selected from halogen, cyano, alkyl, fluoroalkyl such as trifluoromethyl, cycloalkyl, aralkyl such as benzyl, aryl such as phenyl, hydroxy, hydroxyalkyl, alkoxy, alkoxy entirely or partially substituted by fluorine, aralkyloxy such as benzyloxy, aryloxy such as phenoxy, mercapto, alkylthio, arylthio such as phenylthio, sulfo, carboxy, carboxyalkyl, carboxyalkenyl, alkoxycarbonyl, aralkyloxycarbonyl such as benzyloxycarbonyl, nitro, amino, aminoalkyl, mono-alkylamino, di-(alkyl)amino and, for two adjacent residues on the aromatic ring, methylenedioxy and

whereby alkyl and cycloalkyl residues in the group G can be substituted by one or two of the same or different residues selected from

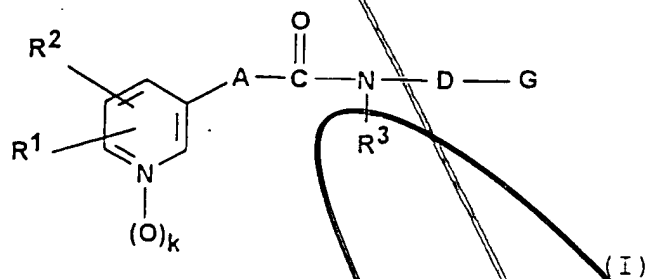
hydroxy, carboxy, alkoxycarbonyl, aralkyloxycarbonyl, such as benzyloxycarbonyl, amino, mono-alkylamino and di-(alkyl)amino;

the cis- and trans-isomers as well as E- and Z-isomers of the above defined compounds, especially in the case that A is a cyclopropane ring or D contains one or more double bonds, including the enantiomers, diastereomers and other isomers of the above defined compounds, optionally in pure form or as their racemic and/or non-racemic mixtures;

the tautomers of the above defined compounds, in the optional case that G represents a heterocyclic aromatic ring or one which simultaneously contains substitutions by free hydroxy, mercapto or amino groups; as well as the corresponding

acid addition salts of the above defined compounds including their hydrates and solvates.

2. Pyridylalkane, pyridylalkene and pyridylalkine acid amides of the formula (I)



wherein the substituents have the following meanings:

R¹ is selected from hydrogen, halogen, cyano, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₂-C₆-alkinyl, trifluoromethyl, C₃-C₈-cycloalkyl, C₁-C₆-hydroxyalkyl, hydroxy, C₁-C₆-alkoxy, C₃-C₈-cycloalkyloxy, benzyloxy, C₁-C₇-alkanoyloxy, C₁-C₆-alkylthio, C₂-C₇-alkoxycarbonyl, aminocarbonyl, C₂-C₇-alkylaminocarbonyl, C₃-C₁₃-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR⁴R⁵, whereby

R⁴ and R⁵ are selected independently of each other from hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, benzyl and phenyl;

R² is selected from hydrogen, halogen, cyano, C₁-C₆-Alkyl, trifluoromethyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

R³ is selected from hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from

C₁-C₆-alkylene, optionally substituted one to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, or phenyl;

C₂-C₆-alkylene, in which a methylene unit is isosterically replaced by O, S, NR⁶, CO, SO or SO₂, whereby, with the exception of CO, the isosteric substitution cannot be adjacent to the amide group and R⁶ is selected from hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₁-C₆-Acyl or C₁-C₆-alkanesulfonyl;

1,2-cyclopropylene;

C₂-C₆-alkenylene, optionally substituted once or twice by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, cyano or phenyl;

C₄-C₆-alkadienylene, optionally substituted once or twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;

009790 9809560

1,3,5-hexatrienylene, optionally substituted by C₁-C₃-alkyl, fluorine, cyano or phenyl; as well as

ethynylene

D is selected from

C₃-C₁₂-alkylene, optionally substituted once or twice by C₁-C₆-alkyl, hydroxy, C₁-C₆-alkoxy or phenyl;

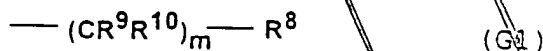
C₃-C₁₂-alkenylene or C₅-C₁₂-alkadienylene, optionally substituted one or twice by C₁-C₆-alkyl, hydroxy, C₁-C₆-alkoxy or phenyl;

C₃-C₁₂-alkinylene or C₅-C₁₂-alkeninylenylene, optionally substituted one or twice by C₁-C₆-alkyl, hydroxy, C₁-C₆-alkoxy or phenyl; and

C₃-C₁₂-alkylene, C₃-C₁₂-alkenylene or C₃-C₁₂-alkinylene, wherein, with the exception of the (G)-terminal methylene group, one to three methylene units are isosterically replaced by O, S, NR⁷, CO, SO or SO₂, whereby R⁷ is synonymous with R⁶, but is selected independently thereof;

G is selected from G₁, G₂, G₃, G₄, G₅ or G₆ with the proviso that G must contain at least one aromatic ring, whereby

G¹ has the meaning



and

m is 0 or 1,

R⁸ is selected from benzyl, diphenylmethyl, phenyl;

009190 9809660

monocyclic aromatic five- or six-membered heterocycles, which can contain one to three hetero-atoms selected from N and/or S and/or O and are either bound directly or over a methylene group;

anellated bi- and tricyclic aromatic or partially hydrated carbocyclic ring systems with 8 to 18, especially with up to 16 ring atoms, and at least one aromatic ring, whereby the linkage can occur either over an aromatic or a hydrated ring and either directly or over a methylene group;

anellated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 18, especially with up to 16 ring atoms, and at least one aromatic ring, whereby one to three ring atoms can be selected from N and/or S and/or O and the linkage can occur either over an aromatic or a hydrated ring and either directly or over a methylene group;

R⁹ is selected from
 hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₂-C₆-alkinyl, C₃-C₈-cycloalkyl;
 benzyl, phenyl;
 saturated or unsaturated, four- to seven-membered heterocycles, which can contain one or two hetero-atoms selected from N and/or S and/or O;

monocyclic aromatic five- or six-membered heterocycles, which can contain one to three hetero-atoms selected from N and/or S and/or O and are either bound directly or over a methylene group;

anellated bi- and tricyclic aromatic or partially hydrated carbocyclic ring systems with 8 to 18, especially with up to 16 ring atoms, and at least one aromatic ring, whereby the linkage can occur either over an aromatic or a hydrated ring and either directly or over a methylene group;

anellated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 18, especially with up to 16 ring atoms, ring atoms can be

09596086-061600

selected from N and/or S and/or O and the linkage can occur either over an aromatic or a hydrated ring and either directly or over a methylene group;

R¹⁰ is synonymous with R⁹, but is selected independently thereof, or can be hydroxy;

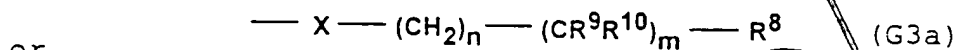
G² is the grouping



which is bound to D by means of a double bond, wherein R⁸ and R⁹ have the above meaning or whereby the grouping $=CR^8R^9$ can also be a ring system bound over the carbon atom, selected from anellated bi- and tricyclic partial hydrated carbocyclic ring systems with 8 to 18, especially up to 16 ring atoms, and at least an aromatic ring;

anellated bi- and tricyclic partially hydrated heterocyclic ring systems with 8 to 18, especially up to 16 ring atoms, and at least one aromatic ring, whereby one to three ring atoms can be selected from N and/or S and/or O;

G³ is selected from



whereby m and the substituents R⁸, R⁹ and R¹⁰ can have the above meanings, and

n is the number 0, 1 or 2

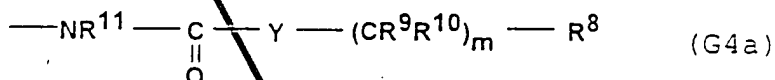
X has the meaning NR¹¹, O or S, whereby

00596006.061600

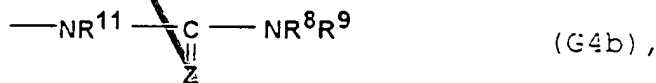
R¹¹ has the same meanings as R⁴, but is selected independently thereof, or the grouping —NR⁸R⁹ can also be a nitrogen heterocycle bound over the nitrogen atom, selected from

anellated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 16 ring atoms and at least an aromatic ring, which, aside from the essential nitrogen atom, can contain 1 or 2 further hetero-atoms selected from N and/or S and/or O; and

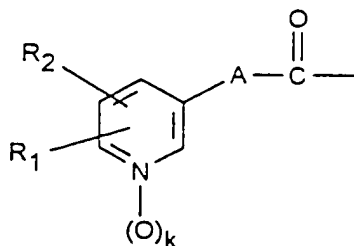
G⁴ is selected from



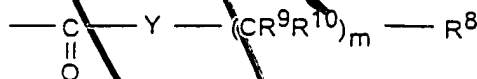
or



with the proviso that the structural element D—G cannot contain a total of more than 1 amide grouping (>N-CO-C< or →C-CO-N<), whereby m and the substituents R⁸, R⁹, R¹⁰, R¹¹ and the grouping NR⁸R⁹ can have the above meaning with the proviso that the residues



and



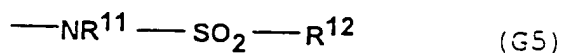
cannot be identical, and

Y is selected from

methylene, ethylene, ethenylene, C₃-C₇-cycloalkylene or represents a bond, and

Z is O or S;

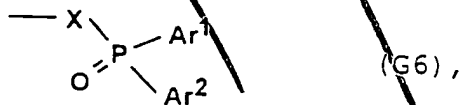
G⁵ has the meaning



wherein R¹¹ has the above meaning, and

R¹² is selected from C₁-C₆-alkyl, phenyl, monocyclic aromatic five- or six-membered heterocycles, which can contain one to three hetero-atoms selected from N and/or S and/or O; anellated bi- and tricyclic aromatic or partially hydrated carbocyclic ring systems with 8 to 18, especially up to 16 ring atoms, and at least an aromatic ring, whereby the linkage can occur either over an aromatic or a hydrated ring; anellated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 18 ring atoms, especially up to 16 ring atoms, and at least one aromatic ring, whereby one to three ring atoms can be selected from N and/or S and/or O and the linkage can occur either over an aromatic or a hydrated ring;

G⁶ is selected from



wherein X can have the above meanings and

Ar¹ and Ar² are selected independently of each other from phenyl, pyridyl or naphthyl;

and whereby aromatic ring systems in the substituents R^1 , R^2 , R^3 , R^4 , R^5 , R^8 , R^9 , R^{10} , R^{11} , R^{12} , Ar^1 and Ar^2 and/or in ring systems $=CR^8R^9$ and $-NR^8R^9$ can be substituted independently from each other by one to three of the same or different groups selected from halogen, cyano, C_1 - C_6 -alkyl, trifluoromethyl, C_3 - C_8 -cycloalkyl, benzyl, phenyl, hydroxy, C_1 - C_6 -hydroxyalkyl, C_1 - C_6 -alkoxy, C_1 - C_6 -alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C_1 - C_6 -alkylthio, phenylthio, sulfo, carboxy, C_2 - C_7 -carboxyalkyl, C_3 - C_7 -carboxyalkenyl, C_2 - C_7 -alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, C_1 - C_6 -aminoalkyl, mono- C_1 - C_6 -alkylamino, di- $(C_1$ - C_6 -alkyl)amino and, for two adjacent residues on the aromatic ring, methylenedioxy and

whereby alkyl and cycloalkyl residues in the Group G can be substituted by one or two of the same or different groups, selected from hydroxy, carboxy, C_2 - C_7 -alkoxycarbonyl, benzyloxycarbonyl, amino, mono- C_1 - C_6 -alkylamino and di- $(C_1$ - C_6 -alkyl)amino;

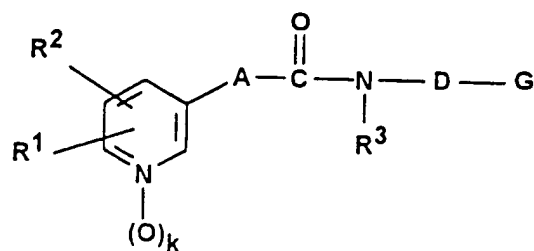
the cis- and trans-isomers, E- and Z-isomers of the above defined compounds, especially in the case that A is a cyclopropane ring or D contains one or more double bonds, including the corresponding enantiomers, diastereomers and other isomers of the above defined compounds, optionally in pure form or as their racemic and/or non-racemic mixtures;

the tautomers of the above defined compounds, in the optional case that G represents or contains a heterocyclic aromatic ring with simultaneous substitution by free hydroxy, mercapto or amino groups; as well as the corresponding

acid addition salts of the above defined compounds including their hydrates and solvates.

3. Compounds according to claims 1 or 2 according to the general formula (I)

009790" 98096560



(I)

wherein the substituents have the following meaning:

- R^1 is selected from hydrogen, halogen, cyano, C_1 - C_6 -alkyl, trifluoromethyl, ethynyl, hydroxy, C_1 - C_4 -alkoxy, benzyloxy, C_1 - C_4 -alkylthio, C_2 - C_5 -alkoxycarbonyl, aminocarbonyl, C_3 - C_9 -dialkylaminocarbonyl, carboxy, phenoxy, phenylthio and pyridyloxy;
- R^2 is selected from hydrogen, fluorine, chlorine, bromine, C_1 - C_4 -alkyl, trifluoromethyl, hydroxy, C_1 - C_4 -alkoxy;
- R^3 is selected from hydrogen, C_1 - C_3 -alkyl, allyl, hydroxy, C_1 - C_3 -alkoxy and benzyloxy;
- k is 0 or 1,
- A is selected from C_1 - C_6 -alkylene, optionally substituted once or twice by C_1 - C_3 -alkyl, hydroxy, fluorine or phenyl;
- C_2 - C_6 -alkylene, wherein a methylene unit is isosterically replaced by O, S, NH, $\text{N}(\text{CH}_3)$ or CO, whereby, with the exception of CO, the isosteric substitution cannot be adjacent to the amide group, and 1,2-cyclopropylene;
- C_2 - C_6 -alkenylene, optionally substituted once or twice by C_1 - C_3 -alkyl, phenyl, hydroxy and/or fluorine;

009596086-061600

C₄-C₆-Alkadienylene, optionally substituted once or twice by methyl or fluorine;

1,3,5-hexatrienylene, optionally substituted by methyl or fluorine, and

ethynylene

D is selected from

C₃-C₁₂-alkylene, optionally substituted once or twice by C₁-C₃-alkyl, hydroxy or phenyl;

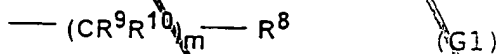
C₃-C₁₂-alkenylene, optionally substituted once or twice by C₁-C₃-alkyl, hydroxy or phenyl;

C₃-C₁₂-alkynylene, optionally substituted once or twice by C₁-C₃-alkyl, hydroxy or phenyl, and

C₃-C₁₂-alkylene, C₃-C₁₂-alkenylene or C₃-C₁₂-alkynylene, wherein one to three methylene units are isosterically replaced by O, S, NH, N(CH₃), N(COCH₃), N(SO₂CH₃), CO or SO₂;

G is selected from G₁, G₂, G₃, G₄, G₅ or G₆ with the proviso that G must contain at least one aromatic ring, whereby

G₁ has the meaning



whereby

m is the number 0 or 1,

R⁸ is selected from
benzyl, diphenylmethyl, phenyl;

00506086 061600

benzocyclobutyl, indanyl, indenyl, oxoindanyl, naphthyl, dihydronaphthyl, tetrahydronaphthyl, biphenylenyl, fluoroenyl, oxofluoroenyl, anthryl, dihydroanthryl, oxodihydroanthryl, dioxodihydroanthryl, phenanthryl, dibenzocycloheptenyl, dihydrodibenzocycloheptenyl, oxodihydrodibenzocycloheptenyl, dihydrodibenzocyclooctenyl or tetrahydrodibenzocyclooctenyl, bound directly or over a methylene group,

furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, triazinyl, benzofuryl, dihydrobenzofuryl, benzothienyl, dihydrobenzothienyl, indolyl, indolinyl, isoindolinyl, oxoindolinyl, dioxoindolinyl, benzooxazolyl, oxobenzooxazolyl, benzoisoxazolyl, oxobenzoisoxazolyl, benzothiazolyl, oxobenzothiazolyl, benzoisothiazolyl, oxobenzoisothiazolyl, benzoimidazolyl, oxobenzoimidazolyl, indazolyl, oxoindazolyl, benzofurazanyl, benzothiadiazolyl, benzotriazolyl, oxazolopyridyl, oxodihydrooxazolopyridyl, thiazolopyridyl, oxodihydrothiazolopyridyl, isothiazolopyridyl, imidazopyridyl, oxodihydroimidazopyridyl, pyrazolopyridyl, oxodihydropyrazolopyridyl, thienopyrimidinyl, chromanyl, chromanonyl, benzopyranyl, chromonyl, quinolyl, isoquinolyl, dihydroquinolyl, oxodihydroquinolyl, tetrahydroquinolyl, oxotetrahydroquinolyl, benzodioxanyl, quinoxalyl, quinazolyl, naphthyridinyl, carbazolyl, tetrahydrocarbazolyl, pyridoindolyl, 1,1-dioxo-1-thia-2-aza-acenaphthenyl, acridinyl, oxodihydroacridinyl, phenanthridinyl, oxodihydrophenanthridinyl, dihydrobenzisoquinolyl, oxodihydrobenzisoquinolyl, phenothiazinyl, dihydrodibenzooxepinyl, oxodihydrodibenzooxepinyl, benzocycloheptathienyl, oxobenzocycloheptathienyl, dihydrothienobenzothiepinyl, oxodihydrothienobenzo-

00596086-051500

thiepinyl, dihydrodibenzothiepinyl,
 oxodihydrodibenzothiepinyl, octahydrodibenzothiepinyl,
 dibenzoazepinyl, dihydrodibenzoazepinyl,
 oxodihydrodibenzoazepinyl, octahydrodibenzoazepinyl,
 benzocycloheptapyridyl, oxobenzocycloheptapyridyl,
 pyridobenzoazepinyl, dihydropyridobenzoazepinyl,
 oxodihydropyridobenzoazepinyl, dihydropyridobenzo-
 diazepinyl, oxodihydropyridobenzodiazepinyl,
 dihydrodibenzooxazepinyl, dihydropyridobenzooxepinyl,
 dihydropyridobenzooxazepinyl, oxodihydropyridobenzo-
 oxazepinyl, dihydrodibenzothiazepinyl,
 oxodihydrodibenzothiazepinyl, dihydropyridobenzo-
 thiazepinyl or oxodihydropyridobenzothiazepinyl, bound
 directly or over a methylene group;

R⁹ is selected from
 hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₂-C₆-alkinyl, C₃-
 C₈-cycloalkyl;
 benzyl, phenyl;
 azetidiny, tetrahydrofuryl, tetrahydrothienyl,
 pyrrolidinyl, tetrahydropyridinyl, piperidinyl,
 hexahydroazepinyl, piperazinyl, morpholinyl or
 hexahydrodiazepinyl;

furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl,
 thiazolyl, iso-thiazolyl, pyrazolyl, imidazolyl,
 oxadiazolyl, thiadiazolyl, triazolyl, pyridyl,
 triazinyl, bound directly or over a methylene group

indanyl, indenyl, oxoindanyl, naphthyl, dihydronaphthyl,
 tetrahydronaphthyl, biphenylenyl, fluoroenyl,
 oxofluoroenyl, anthryl, dihydroanthryl,
 oxodihydroanthryl, phenanthryl, dibenzocycloheptenyl,
 dihydrodibenzocycloheptenyl, oxodihydrodibenzo-
 cycloheptenyl, bound directly or over a methylene group;

benzofuryl, benzothienyl, indolyl, indolinyl,
 isoindolinyl, oxoindolinyl, dioxoindolinyl,
 benzooxazolyl, oxobenzooxazolinyl, benzoisoxazolyl,
 oxobenzoisoxazolinyl, benzothiazolyl,

oxobenzothiazoliny, benzoisothiazolyl, oxobenzoisothiazoliny, benzoimidazolyl, oxobenzoimidazoliny, indazolyl, oxoindazoliny, benzothiadiazolyl, benzotriazolyl, oxazolopyridyl, thiazolopyridyl, isothiazolopyridyl, imidazopyridyl, quinoliny, isoquinoliny, oxodihydroquinoliny, tetrahydroquinoliny, oxotetrahydroquinoliny, carbazolyl, pyridoindolyl, dihydrobenzoisoquinoliny, phenothiaziny, bound directly or over a methylene group;

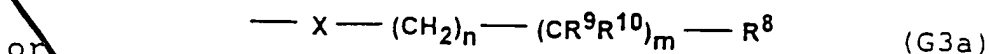
R¹⁰ is synonymous with R⁹, but is selected independently thereof, or is hydroxy;

G² is the grouping



which is bound to D over a double bond, wherein R⁸ and R⁹ have the above meaning, or whereby the grouping $=CR^8R^9$ can also be a ring system bound over the carbon atom, selected from indanyl, indenyl, tetrahydronaphthyl, fluoroenyl, dihydroanthryl, tetrahydrobenzocycloheptenyl, dibenzocycloheptenyl, dihydrodibenzocycloheptenyl; indoliny, isoindoliny, oxoindoliny, tetrahydroquinoliny, tetrahydroisoquinoliny, dihydroacridiny, dihydrodibenzooxepiny, dihydrothienobenzothiepinyl, dihydrodibenzothiepinyl, dibenzoazepiny, dihydrodibenzoazepiny, benzocycloheptapyridiny, dihydrobenzocycloheptapyridiny, pyridobenzoazepiny, dihydropyridobenzoazepiny, oxodihydropyridobenzoazepiny, dihydropyridobenzothiepinyl;

G³ is selected from



whereby m and the substituents R^8 , R^9 and R^{10} can have the above defined meanings and

n is the number 0 or 1,

X has the meaning NR^{11} , O or S, whereby

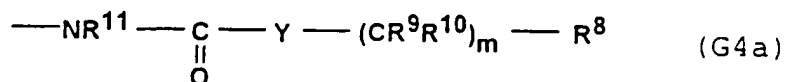
R^{11} is selected from hydrogen, $\text{C}_1\text{-C}_3\text{-alkyl}$, allyl, propinyl, benzyl and phenyl, or the grouping

$\text{--- NR}^8\text{R}^9$ can also be a nitrogen heterocycle bound over the nitrogen atom, selected from

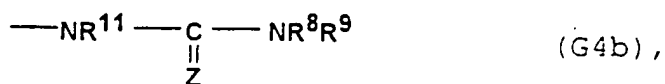
indoline, isoindoline, (1H)-dihydroquinoline, (1H)-tetrahydroquinoline, (2H)-tetrahydroisoquinoline, (4H)-dihydrobenzooxazine, (4H)-dihydrobenzothiazine, (1H)-tetrahydrobenzo[b]azepine, (1H)-tetrahydrobenzo[c]azepine, (1H)-tetrahydrobenzo[d]azepine, (5H)-tetrahydrobenzo[b]oxazepine, (5H)-tetrahydrobenzo[b]thiazepine, 1,2,3,4-tetrahydro-9H-pyrido[3,4-b]indole, carbazole, tetrahydrocarbazole, 1,1-di-oxo-1-thia-2-aza-acenaphthene, (10H)-dihydroacridine, (10H)-dihydrophenanthridine, 1,2,3,4-tetrahydroacridanone, (10H)-phenoxazine, (10H)-phenothiazine, dihydrobenzo[d,e]iso-quinoline, (5H)-dibenzoazepine, (5H)-dihydrodibenzoazepine, (5H)-octahydrodibenzoazepine, (5H)-dihydrodibenzodiazepine, (5H)-benzo[b]pyrido[f]azepine, (5H)-dihydrobenzo[b]pyrido[f]azepine, (11H)-dihydrodibenzo[b,e]oxazepine, (11H)-dihydrodibenzo[b,e]thiazepine, (10H)-dihydrodibenzo[b,f]oxazepine, (10H)-dihydrodibenzo[b,f]thiazepine, (5H)-tetrahydrodibenzoazocine, (11H)-dihydrobenzo[e]pyrido[b]-1,4-diazepin-6-one or (11H)-dihydrobenzo[b]pyrido[e]-1,4-diazepin-5-one;

G^4 is selected from

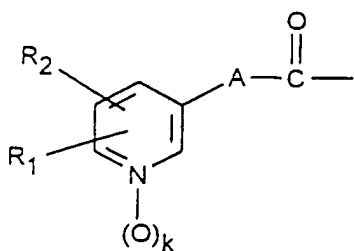
009605-061600



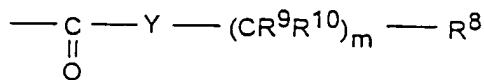
or



with the proviso that the structural element D—G cannot contain a total of more than 1 amide grouping (>N-CO-C< or >C-CO-N<), whereby m and the substituents R^8 , R^9 , R^{10} , R^{11} and the grouping NR^8R^9 can have the above meanings with the proviso that the residues



and

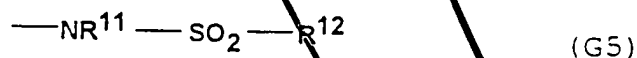


cannot be identical, and

Y is selected from methylene, ethylene, ethenylene, cyclopropylene or represents a bond, and

Z has the meaning O or S;

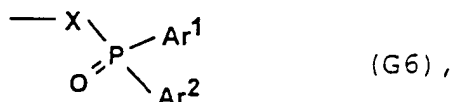
G⁵ has the meaning



wherein R^{11} has the above meaning, and

R^{12} is selected from phenyl, indenyl, naphthyl, anthryl; furyl, thienyl, thiazolyl, pyridyl, indolyl, benzothienyl or quinolinyl;

G⁶ is selected from



wherein X can have the above meanings and

Ar¹ and Ar² are selected independently of each other from phenyl, pyridyl or naphthyl;

and whereby aromatic ring systems in the substituents R¹, R³, R⁸, R⁹, R¹⁰, R¹¹, R¹², Ar¹ and Ar² and/or in ring systems =CR⁸R⁹ and —NR⁸R⁹ can be substituted independently from each other by one to three of the same or different groups selected from halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, C₃-C₈-cycloalkyl, benzyl, phenyl, hydroxy, C₁-C₆-hydroxyalkyl, C₁-C₆-alkoxy, C₁-C₆-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C₁-C₆-alkylthio, phenylthio, sulfo, carboxy, C₂-C₇-carboxyalkyl, C₃-C₇-carboxyalkenyl, C₂-C₇-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, C₁-C₆-aminoalkyl, mono-C₁-C₆-alkylamino, di-(C₁-C₆-alkyl)amino and, for two adjacent residues on the aromatic ring, methylenedioxy.

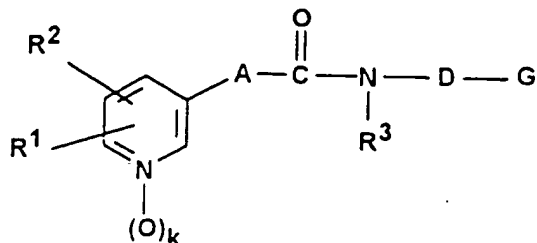
whereby alkyl and cycloalkyl residues in the Group G can be substituted by one or two of the same or different residues, selected from hydroxy, carboxy, C₂-C₇-alkoxycarbonyl, benzyloxycarbonyl, amino, mono-C₁-C₆-alkylamino and di-(C₁-C₆-alkyl)amino.

claim 3 having

4. Compounds according to ~~one of claims 1 to 3~~ according to the general formula (I)

009596086-061500

B



(I)

wherein the substituents have the following meanings:

R^1 is selected from hydrogen, fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, hydroxy, C_1 - C_4 -alkoxy, phenoxy, methylthio, ethylthio, methoxycarbonyl, aminocarbonyl and carboxy;

R^2 is selected from hydrogen, chlorine, methyl, hydroxy and methoxy;

R^3 is hydrogen;

k is 0, .

A is selected from

C_2 - C_6 -alkylene, optionally substituted once or twice by hydroxy or fluorine;

C_2 - C_6 -alkylene, wherein a methylene unit is isosterically replaced by O, S, or CO, whereby, with the exception of CO, the isosteric substitution cannot be adjacent to the amide group;

C_2 - C_6 -alkenylene, optionally substituted by methyl and/or fluorine;

C_4 - C_6 -alkadienylene, optionally substituted by methyl; ethynylene;

00506086-061600

D is selected from

C₃-C₁₀-alkylene, optionally substituted by methyl, hydroxy or phenyl;

C₃-C₁₀-alkenylene, optionally substituted by methyl, hydroxy or phenyl;

C₃-C₁₀-alkynylene, optionally substituted by hydroxy or phenyl;

C₃-C₁₀-alkylene, C₃-C₁₀-alkenylene or C₃-C₁₀-alkynylene, wherein, respectively, a methylene unit is isosterically replaced by O, NH, N(CH₃), or CO, or an ethylene group is isosterically replaced by a group NH-CO and/or CO-NH, or a propylene group isosterically replaced by a group NH-CO-NH or NH-CO-O and/or O-CO-NH;

G is selected from G₁, G₂, G₃, G₄, G₅ or G₆ with the proviso that G must contain at least one aromatic ring, whereby

G₁ has the meaning



whereby

m is the number 0 or 1,

R⁸ is selected from
benzyl, diphenylmethyl, phenyl;

indanyl, indenyl, oxoindanyl, naphthyl, tetrahydronaphthyl, fluoroenyl, oxofluoroenyl, anthryl, dihydroanthryl, oxodihydroanthryl, phenanthryl, dibenzocycloheptenyl, dihydrodibenzocycloheptenyl, oxodihydrodibenzocycloheptenyl, bound directly or over a methylene group;

furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl,
 thiazolyl, pyrazolyl, imidazolyl, thiadiazolyl,
 triazolyl, pyridyl, pyrazinyl, pyrimidinyl, benzofuryl,
 benzothienyl, indolyl, indolinyl, isoindolinyl,
 oxoindolinyl, benzooxazolyl, oxobenzooxazolyl,
 benzoisoxazolyl, oxobenzoisoxazolyl, benzothiazolyl,
 oxobenzothiazolyl, benzoisothiazolyl,
 oxobenzoisothiazolyl, benzoimidazolyl,
 oxobenzoimidazolyl, benzothiadiaazolyl, benzotriazolyl,
 oxazolopyridyl, oxodihydrooxazolopyridyl,
 thiazolopyridyl, isothiazolopyridyl, imidazopyridyl,
 oxodihydroimidazopyridyl, thienopyrimidinyl,
 chromanonyl, quinolyl, isoquinolyl,
 oxodihydroquinolyl, tetrahydroquinolyl,
 oxotetrahydroquinolyl, quinoxalyl, quinazolyl,
 naphthyridinyl, carbazoleyl, pyridoindolyl, 1,1-dioxo-1-
 thia-2-aza-acenaphthenyl, acridinyl,
 oxodihydroacridinyl, phenanthridinyl,
 dihydrobenzoisoquinolyl, oxodihydrobenzoisoquinolyl,
 dihydrodibenzooxepinyl, dibenzoazepinyl,
 dihydrodibenzazepinyl, oxodihydrodibenzazepinyl,
 benzocycloheptapyridyl, pyridobenzoazepinyl,
 dihydropyridobenzoazepinyl,
 dihydropyridobenzodiazepinyl,
 oxodihydropyridobenzodiazepinyl,
 dihydropyridobenzoazepinyl or dihydrodibenzothiazepinyl,
 bound directly or over a methylene group;

R^9 is selected from
 hydrogen, C_1 - C_3 -alkyl, C_3 - C_8 -cycloalkyl, benzyl, phenyl,
 indanyl, indenyl, naphthyl, anthryl;
 furyl, thienyl, pyrrolyl, oxazolyl, thiazolyl,
 isothiazolyl, pyrazolyl, imidazolyl, thiadiazolyl,
 triazolyl, pyridyl,

benzofuryl, benzothienyl, indolyl, benzooxazolyl,
 oxobenzooxazolyl, benzoisoxazolyl, benzothiazolyl,
 benzoisothiazolyl, benzoimidazolyl and benzotriazolyl;

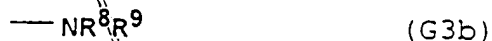
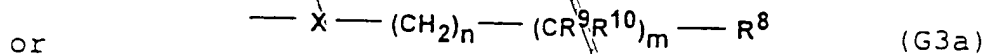
R¹⁰ is synonymous with R⁹, but is selected independently thereof, or can be hydroxy;

G² is the grouping



which is bound to D over a double bond,
wherein R⁸ and R⁹ have the above meaning, or whereby the grouping $=CR^8R^9$ can also be a ring system bound over the carbon atom, selected from
indanyl, tetrahydronaphthyl, fluoroenyl, dihydroanthryl, tetrahydrobenzocycloheptenyl, dibenzocycloheptenyl, dihydrodibenzocycloheptenyl;
indolinyl, isoindolinyl, oxoindolinyl, tetrahydroquinolinyl, tetrahydroisoquinolinyl, dihydroacridinyl, dihydrodibenzooxepinyl, dihydrothienobenzothiepinyl, dihydrodibenzothiepinyl, dibenzoazepinyl, dihydrodibenzazepinyl, benzocycloheptapyridinyl, dihydrobenzocycloheptapyridinyl, pyridobenzoazepinyl, dihydropyridobenzoazepinyl, oxodihydropyridobenzo-oxepinyl, dihydropyridobenzothiepinyl;

G³ is selected from



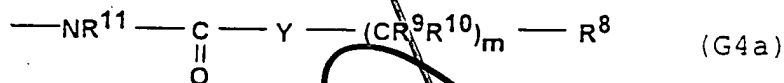
whereby m and the substituents R⁸, R⁹ and R¹⁰ can have the above defined meanings and

n is the number 0 or 1,

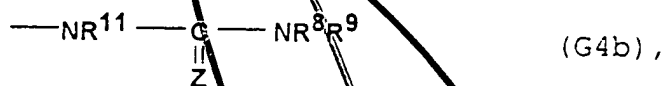
X has the meaning NR¹¹, O or S, whereby

R^{11} is selected from hydrogen, C_1 - C_3 -alkyl, benzyl and phenyl, or the grouping NR^8R^9 can also be a nitrogen heterocycle bound over the nitrogen atom selected from indoline, isoindoline, (1H)-dihydroquinoline, (1H)-tetrahydroquinoline, (2H)-tetrahydroisoquinoline, (1H)-tetrahydrobenzo[b]azepine, (1H)-tetrahydrobenzo[c]azepine, (1H)-tetrahydrobenzo[d]azepine, (5H)-tetrahydrobenzo[b]oxazepine, (5H)-tetrahydrobenzo[b]thiazepine, carbazole, 1,1-dioxo-1-thia-2-aza-acenaphthene, (10H)-dihydroacridine, (10H)-dihydrophenanthridine, dihydrobenzo[d,e]isoquinoline, (5H)-dihydrodibenzoazepine, (5H)-dihydrodibenzodiazepine, (5H)-dihydrobenzo[b]pyrido[f]azepine, (11H)-dihydrodibenzo[b,e]oxazepine, (11H)-dihydrodibenzo[b,e]thiazepine, (10H)-dihydrodibenzo[b,f]oxazepine, (10H)-dihydrodibenzo[b,f]thiazepine, (5H)-tetrahydrodibenzoazocine, (11H)-dihydrobenzo[e]pyrido[b]-1,4-diazepin-6-one or (11H)-dihydrobenzo[b]pyrido[e]-1,4-diazepin-5-one;

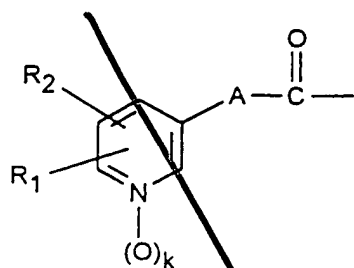
G^4 is selected from



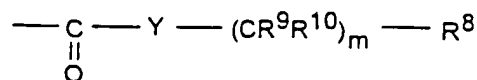
or



with the proviso that the structural element $D-G$ cannot contain a total of more than 1 amide grouping ($>N-CO-C<$ or $\rightarrow C-CO-N<$), whereby m and the substituents R^8 , R^9 , R^{10} , R^{11} and the grouping NR^8R^9 can have the above meanings with the proviso that the residues



and

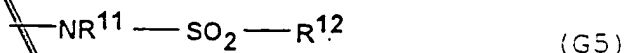


cannot be identical, and

Y is selected from methylene, ethenylene, or represents a bond, and

Z has the meaning O or S;

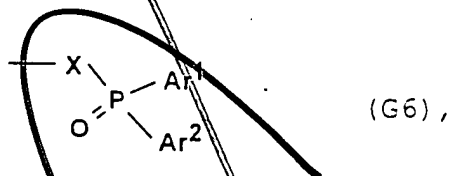
G⁵ has the meaning



wherein R¹¹ has the above meaning, and

R¹² is selected from phenyl, naphthyl, anthryl; thienyl, pyridyl, benzothienyl or quinolinyl;

G⁶ is selected from



(G6),

wherein X can have the above meanings and

Ar¹ and Ar² are selected independently of each other from phenyl, pyridyl or naphthyl;

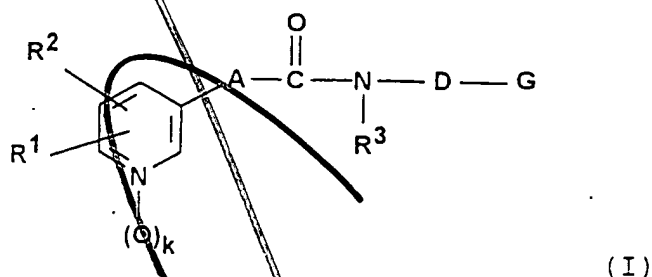
and whereby aromatic ring systems in the substituents R¹, R³, R⁸, R⁹, R¹⁰, R¹¹, R¹², Ar¹ and Ar² and/or in ring systems =CR⁸R⁹ and ---NR⁸R⁹ can be substituted independently from each other by one to three of the same or different groups selected from

halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, C₃-C₈-cycloalkyl, benzyl, phenyl, hydroxy, C₁-C₆-hydroxyalkyl, C₁-C₆-alkoxy, C₁-C₆-Alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C₁-C₆-alkylthio, phenylthio, sulfo, carboxy, C₂-C₇-carboxyalkyl, C₃-C₇-carboxyalkenyl, C₂-C₇-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, C₁-C₆-aminoalkyl, mono-C₁-C₆-alkylamino, di-(C₁-C₆-alkyl)amino and, for two adjacent residues on the aromatic ring, methylenedioxy and

whereby alkyl and cycloalkyl residues in the Group G can be substituted by one or two of the same or different residues, selected from

hydroxy, carboxy, C₂-C₇-alkoxycarbonyl, benzyloxycarbonyl, amino, mono-C₁-C₆-alkylamino and di-(C₁-C₆-alkyl)amino.

5. Compounds according to ~~one of claims 1 to 4~~ *claim 3 having* according to the general formula (I)



wherein the substituents have the following meanings:

- R¹ is selected from
hydrogen, fluorine, methyl, trifluoromethyl, ethylthio;
- R² is hydrogen;
- R³ is hydrogen;
- k is 0,

- A is selected from
ethylene or butylene, optionally substituted by hydroxy
or one or two fluorine atoms, or
OCH₂, SCH₂,

ethenylene or 1,3-butadienylene;
- D is selected from

C₃-C₈-alkylene, optionally substituted by hydroxy or
phenyl;
C₃-C₈-alkenylene, optionally substituted by phenyl,
C₃-C₈-alkynylene; or

C₃-C₈-alkylene, C₃-C₈-alkenylene or C₃-C₈-alkynylene, in
which one or two methylene units are isosterically
replaced by O, NH or CO;
- G is selected from
cyclopentylphenylmethyl, cyclohexylphenylmethyl,
cyclohexylhydroxyphenylmethyl, diphenylmethyl,
diphenylhydroxymethyl, diphenylmethylene, diphenylethyl,
diphenylhydroxy ethyl, diphenylethylene,
triphenylmethyl, triphenylethyl, triphenylhydroxyethyl,
triphenylethylene, naphthylmethylene, naphthyl,
tetrahydronaphthyl, hydroxytetrahydronaphthyl,
tetrahydronaphthylidene, fluoroenyl, hydroxyfluoroenyl,
fluoroenylidene, tetrahydrobenzocycloheptenyl,
hydroxytetrahydrobenzocycloheptenyl,
tetrahydrobenzocycloheptenylidene,
dihydrodibenzocycloheptenyl, hydroxydihydrodibenzo-
cycloheptenyl, dihydrodibenzocycloheptenylidene;

phenyl-thienylmethyl, phenyl-thienylhydroxymethyl,
phenyl-thienylmethylene, dithienylmethyl,
dithienylhydroxymethyl, dithienylmethylene, phenyl-
furylmethyl, phenyl-furyl-hydroxymethyl, phenyl-
furylmethylene, phenyl-pyridylmethyl, phenyl-
pyridylhydroxymethyl, phenyl-pyridylmethylene;

00595086-061500

tetrahydroquinolinyl, tetrahydroisoquinolinyl,
benzocycloheptapyridinyl, benzocycloheptapyridinylidene,
dihydrobenzocycloheptapyridinyl,
dihydrobenzocycloheptapyridinylidene,
dihydrodibenzooxepinyl, dihydrodibenzooxepinylidene,
dihydrodibenzothiepinyl, dihydrodibenzothiepinylidene;

phenylpyrrolyl, diphenylpyrrolyl, phenylthienyl,
diphenyl-thienyl, phenylpyrazolyl, diphenylpyrazolyl,
phenylimidazolyl, diphenylimidazolyl, phenylpyridyl,
diphenylpyridyl, indolyl, oxoindolinyl, benzoimidazolyl,
oxobenzoimidazolyl, benzothiazolyl, oxobenzothiazolyl,
benzoisothiazolyl, benzooxazolyl, oxobenzooxazolyl,
benzotriazolyl;

diphenylmethylamino, diphenylmethyl-methylamino,
dibenzylamino, benzylphenylamino, cyclohexylphenylamino,
triphenylmethylamino, biphenylamino, diphenylamino; N-
indolinyl, N-isoindolinyl, N-tetrahydroquinolinyl, N-
tetrahydrobenzazepinyl, N-phenyl-
tetrahydrobenzoazepinyl, N-1,1-dioxo-1-thia-2-aza-
acenaphthenyl, N-1H,3H-benzo[de]-isoquinolinyl, N-
dihydrodibenzoazepinyl;

diphenylmethyloxy, diphenylmethylthio;

diphenylacetyl-amino, diphenylacetyl-phenylamino,
diphenylpropionyl-amino, diphenylacryloyl-amino,
naphthylacetyl-amino, furoylacetyl-amino, benzoyl-amino,
naphthoyl-amino, oxofluorenylcarbonyl-amino, furoyl-amino;

diphenylmethylaminocarbonyl-amino, dibenzylaminocarbonyl-
amino, naphthylmethylaminocarbonyl-amino,
dibenzylaminocarbonyl-amino, biphenylaminocarbonyl-
amino, naphthylaminocarbonyl-amino,
benzylphenylaminocarbonyl-amino, diphenylaminocarbonyl-
amino; diphenylaminocarbonyl-phenylamino;
diphenylfurylaminocarbonyl-amino, indolinyl-N-carbonyl-
amino, isoindolinyl-N-carbonyl-amino, 1H,3H-

00596086.061600

benzo[de]isoquinolinyl-N-carbonylamino,
 tetrahydrobenzoazepinyl-N-carbonylamino, phenyl-
 tetrahydrobenzoazepinyl-N-carbo-nylamino,
 dihydrodibenzoazepin-N-carbonylamino,
 dihydrobenzopyridoazepinyl-N-carbonylamino;

tolylsulfonylamino, naphthylsulfonylamino,
 diphenylphosphinoylamino and diphenylphosphinoyloxy,

and whereby aromatic ring systems in G can be substituted independently from each other by one to three of the same or different groups selected from halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, C₃-C₈-cycloalkyl, benzyl, phenyl, hydroxy, C₁-C₆-hydroxyalkyl, C₁-C₆-alkoxy, C₁-C₆-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C₁-C₆-alkylthio, phenylthio, sulfo, carboxy, C₂-C₇-carboxyalkyl, C₃-C₇-carboxyalkenyl, C₂-C₇-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, C₁-C₆-aminoalkyl, mono-C₁-C₆-alkylamino, di-(C₁-C₆-alkyl)amino and for two adjacent residues on the aromatic ring, methylenedioxy, and

whereby alkyl and cycloalkyl residues in the group G can be substituted by one or two of the same or different groups selected from hydroxy, carboxy, C₂-C₇-alkoxycarbonyl, benzyloxycarbonyl, amino, mono-C₁-C₆-alkylamino and di-(C₁-C₆-alkyl)amino.

6. Compounds of the general formula (I) according to ^{claim 1 or 2 wherein} ~~one of~~ ~~claims 1-6~~, characterized in that they are present in the form of the following compounds:

- (1) N-[8,8-bis-(4-fluorophenyl)-octyl]-3-pyridin-3-yl-acrylamide hydrochloride
- (2) N-[6-(3,3-diphenyl-ureido)-hexyl]-3-pyridin-3-yl-acrylamide

009190-98096560

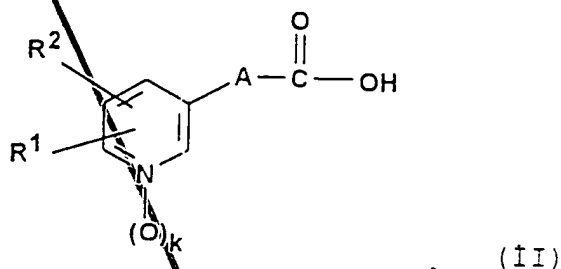
132

- (3) N-[4-(1-phenyl-1,2,4,5-tetrahydrobenzo(d)azepin-3-yl)-butyl]-3-pyridin-3-yl-acrylamide
- (4) N-(8,8-diphenyl-octyl)-3-pyridin-3-yl-acrylamide
- (5) N-(8-hydroxy-8,8-diphenyl-octyl)-3-pyridin-3-yl-acrylamide
- (6) N-[4-(3,3-diphenyl-ureido)-butyl]-3-pyridin-3-yl-acrylamide
- (7) N-[4-(1H,3H-benzo[de]isoquinolin-2-yl)-butyl]-3-pyridin-3-yl-acrylamide
- (8) N-[6-(10,11-dihydrodibenzo[b,f]azepin-5-yl-carbonylamino)-hexyl]-3-pyridin-3-yl-acrylamide
- (9) 3-pyridin-3-yl-N-[6-(tosylamino)-hexyl]-acrylamide
- (10) N-[4-(1,1-dioxo-1-thia-2-aza-acenaphthylen-2-yl)-butyl]-3-pyridin-3-yl-acrylamide
- (11) N-(6-hydroxy-6,6-diphenyl-hexyl)-3-pyridin-3-yl-acrylamide
- (12) N-(6,6-diphenyl-hex-5-enyl)-3-pyridin-3-yl-acrylamide
- (13) N-[4-(4,5-diphenyl-imidazol-1-yl)-butyl]-3-pyridin-3-yl-acrylamide
- (14) N-[4-(trans-2-phenyl-cyclopropyl-carbonylamino)-butyl]-3-pyridin-3-yl-acrylamide
- (15) N-(5-hydroxy-5,5-diphenyl-pentyl)-3-pyridin-3-yl-acrylamide
- (16) N-(7-phenyl-heptyl)-3-pyridin-3-yl-acrylamide
- (17) N-(4-diphenylacetyl-amino-butyl)-3-pyridin-3-yl-acrylamide

00596086.061500

- (18) N-[4-(benzhydryl-amino)-butyl]-3-pyridin-3-yl-acrylamide
and
- (19) N-(4-[[2-(benzhydrylmethylamino)-ethyl]-methylamino]-butyl)-3-pyridin-3-yl-acrylamide.

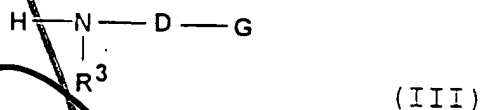
7. Method for the production of the compounds of formula (I)



claim 1 or 2, wherein

according to ~~one of claims 1-6, characterized in that~~
according to method (A), compounds of the formula (I) are
obtained in the manner that carboxylic acids of formula (II),

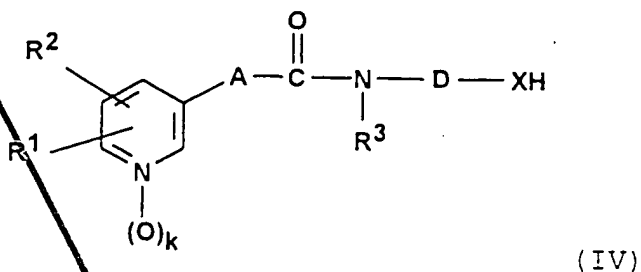
wherein R^1 , R^2 , A and k have the meanings given above or
their reactive derivatives are reacted with compounds of
formula (III)



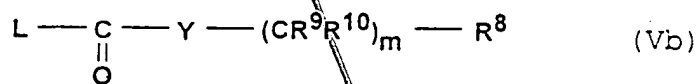
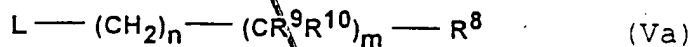
wherein D, G and R^3 are defined above, for example in the
form of their active esters, anhydrides acid halides,
especially acid chlorides, or simple lower alkyl esters as
free bases or acid addition salts, optionally in the presence
of condensation agents, for example carbodiimides, in a
suitable, preferably inert solvent or polar aprotic solvent
or solvent mixture, as well as, optionally, in the presence
of an auxiliary base in the form of a carbonate or organic
amine, at a reaction temperature especially between -40°C and
 180°C , preferably between -10°C and 130°C ; or

00596086-061500

according to method (B), compounds of formula (I), wherein G corresponds to the meanings of G3a, G4, G5 or G6, and, optionally X is equal to NR¹¹, can be produced that compounds of formula (IV)



are reacted with suitable alkylation or arylation agents and/or carboxylic acid, carbamic acid, thiocarbamic acid, sulfonic acid or phosphinic acid derivatives of formula (Va) to (Ve),

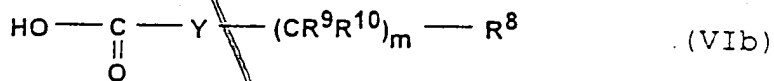


wherein each L represents a suitable nucleofuge, or

according to method (B1), compounds of formula (I), wherein G has the meanings of G3a with X = NR¹¹ according to the above definition, can also be produced in the manner that compounds of formula (IV) are reacted in a suitable inert solvent and/or solvent mixture with a suitable alkylation and/or arylation agent of formula (Va), wherein m, n, R⁸, R⁹ and R¹⁰

are defined as above and the leaving group L can be a reactive derivative of an alcohol, for example a halogen atom, or sulfonic acid ester, whereby the reaction preferably takes place in the presence of bases as named above in method (A) and, in the case of the use of compounds of formula (Va) in the form of their chlorides or bromides as starting products, the reaction can be accelerated by addition of alkali metal iodides such as sodium iodide or potassium iodide and whereby the reaction temperature can vary, especially between 0°C and 180°C, preferably between 20°C and 130°C; or

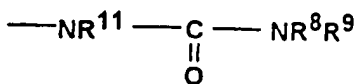
according to method (B2), compounds of formula (I), wherein G has the meaning G4 to G6 according to the above definition, can also be produced in that starting products of formula (IV) are reacted with a carboxylic acid, thiocarbamic acid carbamic acid, sulfonic acid and/or phosphinic acid of formula (VIb) to (VIe),



wherein m, Y, Z, R⁸, R⁹, R¹⁰, R¹², Ar¹, Ar² and optionally the group NR⁸R⁹ have the above meanings or with their derivatives capable of reaction, preferably in the presence of auxiliary bases in solvents and under conditions as they are described in method (A), or

according to method (B3), compounds of formula (I), wherein G represents a carbamoyl residue according to the definition G4b with Z = O, i.e. is a group

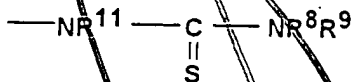
00596086.061600



can also be produced in the manner that compounds of formula (IV), wherein $X = \text{NR}^{11}$ are reacted to an intermediate product with a carbonyl group transmitter, preferably with a bis-trichloromethyl carbonate (triphosgene) or carbonyldiimidazole, especially in an absolute, inert solvent in the presence of a tertiary organic amine as an auxiliary base and, subsequently, without purification or isolation of the intermediate product, this is reacted with a primary or secondary amine of formula (VII),

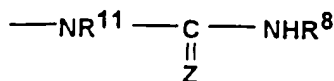


wherein R^8 and R^9 or optionally the residue NR^8R^9 have the meanings according to the above definitions, whereby the temperature for the first partial reaction can lie especially between -40°C and 50°C , preferably at 0°C to 30°C , and, for the second partial reaction, between 0°C and 150°C , preferably in the range of 20°C to 120°C , and whereby compounds of formula (I) wherein G represents a thiocarbamoyl residue according to the definition G4b with $Z = \text{S}$, i.e. is a group

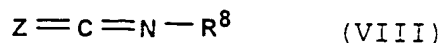


can be produced in an identical manner from the starting compounds of the formulas (IV) and (VII) in that thiocarbonyldiimidazole or thiophosgene is used as a thiocarbonyl group transmitter, or

according to method (B4), compounds of formula (I), wherein G represents a carbamoyl residue or thiocarbamoyl residue according to the definition G4b with $\text{R}^9 = \text{hydrogen}$, i.e. is a group



can be produced in the manner, that the starting compounds of formula (IV), wherein $X = \text{NR}^{11}$ are reacted with an isocyanate or isothiocyanate of formula (VIII),



wherein R^8 has the meanings defined above, preferably in absolute, inert solvents as they are considered in the above method (B3), especially at a reaction temperature which can vary in the range of -20°C to 150°C , preferably at 20°C to 100°C .

claim 1 or 2

8. Compound or compound mixture according to ~~one of claims 1 to 6~~ for use in a therapeutic method for treatment of the human or animal body or in a corresponding diagnosis method.

9. Compound or compound mixture according to claim 8 for use in a therapeutic or diagnostic method, ~~characterized in that~~ ^{wherein} these uses are in connection with cancerostatic or cytostatic anti-proliferative or immunosuppressive treatment or inhibition of abnormal cell growth and/or preventing the formation of metastases, optionally in connection with suitable pharmaceutically acceptable adjuvants and carriers and/or one or more further active ingredients.

claim 1 or 2

10. Use of one or more compounds according to ~~one of claims 1 to 6~~ for the production of a medicament for the treatment of the human or animal body in the medical indications named above in claim 9.

11. Medicament with an amount of one or more active ingredients according to claim ~~1 to 6~~ ^{1 or 2} optionally in connection with a pharmaceutically acceptable carrier, next

09595085-061500

B
B

B

to toxicologically safe adjuvants, optionally in combination with other active ingredients.

12. A method for the production of a medicament according to claim 11, ^{wherein} ~~characterized in that~~ one or more compounds according to ^{claim 1 or 2} ~~one or more of claims 1 to 6~~ are processed to finished medical forms with suitable pharmacologically acceptable carriers and adjuvants.

13. Medicament according to claim 11, ^{wherein} ~~characterized in that~~ it is present in a solid, peroral administrable form as a tablet, capsule, coated tablet, or as a liquid, peroral administrable solution, suspension, effervescent tablet, in the form of tabs or sachets, optionally in sustained action, and/or in gastric fluid-resistant form.

14. Medicaments according to claim 11, ^{wherein} ~~characterized in that~~ it is present in the form of a suitable injection or infusion preparation together with suitable pharmaceutically acceptable carriers and adjuvants, optionally in sustained action form and or as a parenteral depot medicinal form or implant or is used in the form of a concentrate, powder or lyophilisate and the parenteral dilution agent is optionally manufactured in the packaging separately therefrom, so that the mixing of components contained therein with a common parenterally applicable dilution agent is possible immediately before use.

15. Medicament according to claim 11, ^{wherein} ~~characterized in that~~ it is present in the form of an inhalation therapeutic agent, for example, in the form of a spray together with suitable pharmaceutically acceptable propellants, carriers and adjuvants.

16. Medicament according to claim 11, ^{wherein} ~~characterized in that~~ it is present in the form of a transdermal therapeutic system for systemic treatment.

00596006.061600

17. Medicament according to claim 11, ^{wherein} ~~characterized in that~~ it is present in the form of a gastrointestinal therapeutic system (GITS) for systemic treatment.

18. Medicament according to claim 11, ^{wherein} ~~characterized in that~~ it is present in the form of a salve, suspension, emulsion, a balm or plaster or in the form of an externally applicable solution.

19. Medicament according to claim 15 for administration by means of a controlled dosage aerosol or in the form of a dry powder dosage formulation.

20. Medicament according to claim 11, ^{wherein} ~~characterized in that~~ it is present in the form of a rectal, genital, or transurethral administration emulsion, a solution, a liposomal solution, an implant, suppository or a capsule.

21. Medicament according to claim 11, ^{wherein} ~~characterized in that~~ it is present in the form of a composition capable of being applied nasally, otologically or ophthalmologically.

22. Medicament according to ^{claim 11, wherein} ~~one of the claims 11 or 13,~~ ~~characterized in that~~ it is present in the form of a buccally applicable form.

23. Medicament according to ^{claim 11, wherein} ~~one of the claims 11, 13 to 19,~~ ~~and 19,~~ ~~characterized in that~~ a dosage unit for single administration contains 0.001 to 1000, 2000, 3000, 4000 or 5000 mg preferably, 0.01 to 100 mg, in a preferred manner 1 to 10 mg, especially 1, 2, 5, 10, 20, 25, 30, 50, 75, 100, 200, 300, 400, 500, 600 or 800 mg active ingredient according to the claims 1 to 6.

24. Medicament according to claim 15, ^{wherein} ~~characterized in that~~ the pharmaceutically acceptable carrier and/or diluent is a propellant aerosol.

25. Medicament according to claim 15 or 24, ^{wherein} ~~characterized in that~~ the propellant aerosol is tetrafluoroethane and/or heptafluoropropane and/or propane, butane, or dimethyl ether or mixtures thereof.

26. Medicament according to ^{claim 25, wherein} ~~one of the claims 15, 24 or 25,~~ ~~characterized in that~~ the propellant aerosol contains surface active adjuvants.

27. Medicament according to ^{claim 15, wherein} ~~one of the claims 11 or 15,~~ ~~characterized in that~~ it contains glucose and/or lactose as a dry powder dosage.

28. Substance or substance mixture according to ^{claim 9, wherein} ~~one of the claims 8 or 9,~~ characterized in that the therapeutic use occurs in combination with a further cytostatic agent or immunosuppressive agent.

29. Use of one or more compounds according to ^{claim 8} ~~one of claims 1 to 6 or 8~~ for treatment of the human or animal body in the medical indications named in claim 9 as well as a diagnostic agent.

30. Medicament according to ^{claim 11, wherein} ~~one of the claims 11 and 13 to 27,~~ ~~characterized in that~~ it is present in combination with a further cytostatic agent or immunosuppressive agent, optionally in the form of separate dosage units in the pharmaceutical package.

31. Use of one or more compounds according to ^{claims 1 or 2} ~~one of claims 1 to 8~~ for treatment of the human or animal body in the medical indications named in claim 9.

add
C₁
add
P₁